

L11 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:869423 CAPLUS  
 DOCUMENT NUMBER: 137:370078  
 TITLE: Preparation of piperinic acid amides for the treatment of skin conditions  
 INVENTOR(S): Raman, Amala; Lin, Zhixu; Hider, Robert C.; Venkatasamy, Radhakrishnan  
 PATENT ASSIGNEE(S): BTG International Limited, UK  
 SOURCE: U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. 6,346,539.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

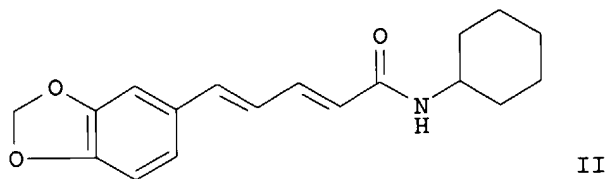
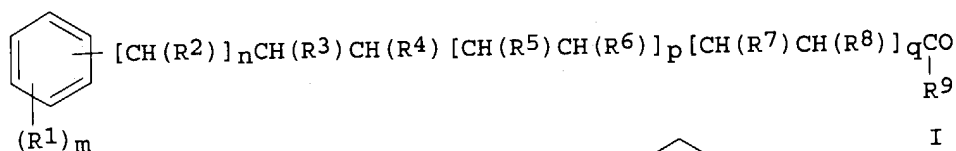
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168369	A1	20021114	US 2002-51173	20020122
WO 2000002544	A2	20000120	WO 1999-GB2256	19990713
WO 2000002544	A3	20000504		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6346539 B1 20020212 US 2001-759137 20010116  
 PRIORITY APPLN. INFO.: GB 1998-15177 A 19980713  
 WO 1999-GB2256 A2 19990713  
 US 2001-759137 A2 20010116

OTHER SOURCE(S): MARPAT 137:370078  
 GI



AB Title compds. I [m = 2; n, p, q = 0, 1; two R1 groups together = 3',4'-methylenedioxy; R2-R8 = H; R3R4, R5R6, R7R8 = double bond; R9 = piperidino, morpholino, cyclohexylamino, NHMe, NHet, NHPr-iso, OPr-iso, OPr, OBU, etc., with provisos] were prepared for treatment of skin

conditions or for cosmetic enhancement of the natural coloration of skin. For example, refluxing piperine in 20% methanolic KOH for 2 days gave piperinic acid. The latter was treated with MeSO<sub>2</sub>Cl in Et<sub>3</sub>N/CH<sub>2</sub>Cl<sub>2</sub>, followed by treatment of the resulting mixture with cyclohexylamine to give N-cyclohexyl piperinic acid amide [II]. In an in-vitro assay at  $\mu$ m concentration, II was significantly more effective than piperine for

stimulating

melanocyte (mouse melan-a) proliferation.

IT 263858-26-0P 443688-16-2P

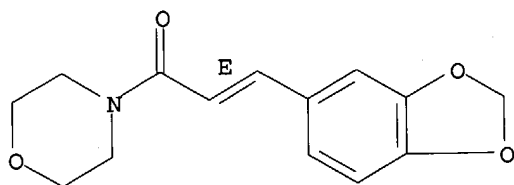
RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperinic acid amides for treatment of skin conditions)

RN 263858-26-0 CAPLUS

CN Morpholine, 4-[(2E)-3-(1,3-benzodioxol-5-yl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 443688-16-2 CAPLUS

CN Morpholine, 4-[(2E,4E)-5-(1,3-benzodioxol-5-yl)-1-oxo-2,4-pentadienyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

